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TI Preparation of 2-aminobenzimidazoles as TIE-2 and Raf kinase inhibitors for the treatment of tumors

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AB Title compds. I [R1 = (R4)m; R2 = (R4')p; R3 = L-Y; R4, R4' = halo, OH, CN, etc.; L = CH2, CH2CH2, O, etc.; Y = heterocycle; m, p = 0-4] and their pharmaceutically acceptable salts were prepared For example, condensation of 4-fluoronitrobenzene and isothiocyanate II, e.g., prepared from 5-hydroxy-2,1,3-benzothiadiazole in 3-steps, afforded aminobenzimidazole III. In TIE-2 tyrosine kinase receptor inhibition assays, 4-examples of compds. I exhibited IC50 values

ranging from 0.22-0.39 μM , e.g., the IC50 value of aminobenzimidazole III was 0.22 μM . Compds. I are claimed to be useful for the treatment of tumors via the inhibition of TIE-2 and Raf kinases.